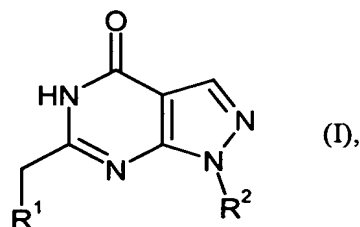


## Patent Claims

1. Compounds of the formula



in which

5         $R^1$  is  $C_1$ - $C_8$ -alkyl,  $C_2$ - $C_6$ -alkenyl,  $C_2$ - $C_6$ -alkynyl or  $C_3$ - $C_8$ -cycloalkyl, where  $C_1$ - $C_8$ -alkyl is optionally substituted by oxo, and

where  $C_1$ - $C_8$ -alkyl,  $C_2$ - $C_6$ -alkenyl,  $C_2$ - $C_6$ -alkynyl and  $C_3$ - $C_8$ -cycloalkyl are optionally substituted by up to 3 radicals independently of one another selected from the group of  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -alkoxy, hydroxycarbonyl, cyano, amino,  
10        nitro, hydroxy,  $C_1$ - $C_6$ -alkylamino, halogen, trifluoromethyl, trifluoromethoxy,  $C_6$ - $C_{10}$ -arylcarbonylamino,  $C_1$ - $C_6$ -alkylcarbonylamino,  $C_1$ - $C_6$ -alkylaminocarbonyl,  $C_1$ - $C_6$ -alkoxycarbonyl,  $C_6$ - $C_{10}$ -arylaminocarbonyl, heteroarylaminocarbonyl, heteroarylcarbonylamino,  $C_1$ - $C_6$ -alkylsulphonylamino,  $C_1$ - $C_6$ -alkylsulphonyl,  $C_1$ - $C_6$ -alkylthio,

15        where

$C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -alkoxy,  $C_1$ - $C_6$ -alkylamino,  $C_6$ - $C_{10}$ -arylcarbonylamino,  $C_1$ - $C_6$ -alkylcarbonylamino,  $C_1$ - $C_6$ -alkylaminocarbonyl,  $C_1$ - $C_6$ -alkoxycarbonyl,  $C_6$ - $C_{10}$ -arylaminocarbonyl, heteroarylaminocarbonyl, heteroarylcarbonylamino,  $C_1$ - $C_6$ -alkylsulphonylamino,  $C_1$ - $C_6$ -alkylsulphonyl and  $C_1$ - $C_6$ -alkylthio are optionally substituted by one to three  
20        radicals independently of one another selected from the group of hydroxy, cyano, halogen, trifluoromethyl, trifluoromethoxy, hydroxycarbonyl and a group of the formula  $-NR^3R^4$ ,

where

25         $R^3$  and  $R^4$  are independently of one another hydrogen or  $C_1$ - $C_6$ -alkyl,

or

R<sup>3</sup> and R<sup>4</sup> together with the nitrogen atom to which they are bonded are 5- to 8-membered heterocyclyl,

R<sup>2</sup> is phenyl or heteroaryl, where phenyl is substituted by 1 to 3 radicals and heteroaryl is optionally substituted by 1 to 3 radicals in each case independently of one another selected from the group of C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, hydroxycarbonyl, cyano, trifluoromethyl, trifluoromethoxy, amino, nitro, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkylamino, halogen, C<sub>6</sub>-C<sub>10</sub>-arylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylaminocarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, C<sub>6</sub>-C<sub>10</sub>-arylaminocarbonyl, heteroarylaminocarbonyl, heteroarylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylsulphonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylsulphonyl and C<sub>1</sub>-C<sub>6</sub>-alkylthio,

where C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylamino, C<sub>6</sub>-C<sub>10</sub>-arylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylaminocarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, C<sub>6</sub>-C<sub>10</sub>-arylaminocarbonyl, heteroarylaminocarbonyl, heteroarylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylsulphonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylsulphonyl and C<sub>1</sub>-C<sub>6</sub>-alkylthio are optionally substituted by one to three radicals independently of one another selected from the group of hydroxy, cyano, halogen, trifluoromethyl, trifluoromethoxy, hydroxycarbonyl and a group of the formula -NR<sup>3</sup>R<sup>4</sup>,

where

R<sup>3</sup> and R<sup>4</sup> have the meanings indicated above,

and the salts, solvates and/or solvates of the salts thereof.

2. Compounds according to Claim 1, where

R<sup>1</sup> is C<sub>1</sub>-C<sub>8</sub>-alkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-alkynyl or C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, which are optionally substituted by up to 3 radicals independently of one another selected from the group of C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, hydroxycarbonyl, cyano, amino, nitro, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkylamino, halogen, C<sub>6</sub>-C<sub>10</sub>-arylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylaminocarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, C<sub>6</sub>-C<sub>10</sub>-arylaminocarbonyl, heteroarylaminocarbonyl, heteroarylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylsulphonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylsulphonyl and C<sub>1</sub>-C<sub>6</sub>-alkylthio,

where C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylamino, C<sub>6</sub>-C<sub>10</sub>-arylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylaminocarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl,

C<sub>6</sub>-C<sub>10</sub>-arylamino-carbonyl, heteroarylamino-carbonyl, heteroarylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylsulphonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylsulphonyl and C<sub>1</sub>-C<sub>6</sub>-alkylthio are optionally substituted by a radical selected from the group of hydroxy, cyano, halogen, hydroxycarbonyl and a group of the formula -NR<sup>3</sup>R<sup>4</sup>,

5 where

R<sup>3</sup> and R<sup>4</sup> are independently of one another hydrogen or C<sub>1</sub>-C<sub>6</sub>-alkyl,

or

R<sup>3</sup> and R<sup>4</sup> together with the nitrogen atom to which they are bonded are 5- to 8-membered heterocyclyl,

10 R<sup>2</sup> is phenyl or heteroaryl, where phenyl is substituted by 1 to 3 radicals and heteroaryl is optionally substituted by 1 to 3 radicals in each case independently of one another selected from the group of C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, hydroxycarbonyl, cyano, trifluoromethyl, amino, nitro, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkylamino, halogen, C<sub>6</sub>-C<sub>10</sub>-arylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylamino-  
15 carbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, C<sub>6</sub>-C<sub>10</sub>-arylamino-carbonyl, heteroarylamino-carbonyl, heteroarylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylsulphonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylsulphonyl, C<sub>1</sub>-C<sub>6</sub>-alkylthio,

where C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylamino, C<sub>6</sub>-C<sub>10</sub>-arylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylaminocarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy-  
20 carbonyl, C<sub>6</sub>-C<sub>10</sub>-arylamino-carbonyl, heteroarylamino-carbonyl, hetero-arylcarbonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylsulphonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylsulphonyl and C<sub>1</sub>-C<sub>6</sub>-alkylthio are optionally substituted by a radical selected from the group of hydroxy, cyano, halogen, hydroxycarbonyl and a group of formula -NR<sup>3</sup>R<sup>4</sup>,

25 where

R<sup>3</sup> and R<sup>4</sup> have the meanings indicated above,

and the salts, solvates and/or solvates of the salts thereof.

3. Compounds according to Claims 1 and 2, where

R<sup>1</sup> is C<sub>1</sub>-C<sub>5</sub>-alkyl or C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, which are optionally substituted by up to 3 radicals independently of one another selected from the group of C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, hydroxycarbonyl, cyano, amino, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkylamino, trifluoromethyl, fluorine, chlorine, bromine, C<sub>6</sub>-C<sub>10</sub>-arylcarbonylamino, C<sub>1</sub>-C<sub>4</sub>-alkylcarbonylamino, C<sub>1</sub>-C<sub>4</sub>-alkylaminocarbonyl, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl, C<sub>6</sub>-C<sub>10</sub>-arylaminocarbonyl, heteroarylaminocarbonyl, heteroarylcarbonylamino, C<sub>1</sub>-C<sub>4</sub>-alkylsulphonylamino, C<sub>1</sub>-C<sub>4</sub>-alkylsulphonyl, C<sub>1</sub>-C<sub>4</sub>-alkylthio,

where C<sub>1</sub>-C<sub>4</sub>-alkyl and C<sub>1</sub>-C<sub>4</sub>-alkoxy are optionally substituted by a radical selected from the group of hydroxy, cyano, fluorine, chlorine, bromine, hydroxycarbonyl and a group of the formula -NR<sup>3</sup>R<sup>4</sup>,

where

R<sup>3</sup> and R<sup>4</sup> are independently hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl,

or

R<sup>3</sup> and R<sup>4</sup> together with the nitrogen atom to which they are bonded are 5- to 6-membered heterocyclyl,

R<sup>2</sup> is phenyl, pyrimidyl, pyridyl N-oxide or pyridyl, where phenyl is substituted by 1 to 3 radicals and pyrimidyl, pyridyl N-oxide and pyridyl are optionally substituted by 1 to 3 radicals in each case independently of one another selected from the group of C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, hydroxycarbonyl, cyano, trifluoromethyl, amino, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkylamino, fluorine, chlorine, bromine, C<sub>6</sub>-C<sub>10</sub>-arylcarbonylamino, C<sub>1</sub>-C<sub>4</sub>-alkylcarbonylamino, C<sub>1</sub>-C<sub>4</sub>-alkylaminocarbonyl, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl, C<sub>6</sub>-C<sub>10</sub>-arylaminocarbonyl, heteroarylaminocarbonyl, heteroarylcarbonylamino, C<sub>1</sub>-C<sub>4</sub>-alkylsulphonylamino, C<sub>1</sub>-C<sub>4</sub>-alkylsulphonyl, C<sub>1</sub>-C<sub>4</sub>-alkylthio,

where C<sub>1</sub>-C<sub>4</sub>-alkyl and C<sub>1</sub>-C<sub>4</sub>-alkoxy are optionally substituted by a radical selected from the group of hydroxy, cyano, fluorine, chlorine, bromine, hydroxycarbonyl and a group of the formula -NR<sup>3</sup>R<sup>4</sup>,

where

R<sup>3</sup> and R<sup>4</sup> have the meanings indicated in Claim 1,

and the salts, solvates and/or solvates of the salts thereof.

4. Compounds according to Claims 1 to 3, where R<sup>1</sup> has the meanings indicated in Claims 1 to 3, and

5 R<sup>2</sup> is phenyl, pyridyl N-oxide or pyridyl, where phenyl is substituted by 1 to 3 radicals and pyridyl and pyridyl N-oxide are optionally substituted by 1 to 3 radicals in each case independently of one another selected from the group of methyl, ethyl, 2-propyl, trifluoromethyl, methoxy, ethoxy, fluorine and chlorine, and the salts, solvates and/or solvates of the salts thereof.

5. Compounds according to Claims 1 to 4, where

10 R<sup>1</sup> is C<sub>1</sub>-C<sub>5</sub>-alkyl or C<sub>5</sub>-C<sub>6</sub>-cycloalkyl, which are optionally substituted by up to 3 radicals independently of one another selected from the group of C<sub>1</sub>-C<sub>4</sub>-alkyl, trifluoromethyl, fluorine, hydroxy, phenylcarbonylamino, C<sub>1</sub>-C<sub>4</sub>-alkylcarbonylamino, C<sub>1</sub>-C<sub>4</sub>-alkylaminocarbonyl or phenylaminocarbonyl, and

15 R<sup>2</sup> is phenyl, pyridyl N-oxide or pyridyl, where phenyl is substituted by 1 to 3 radicals and pyridyl and pyridyl N-oxide are optionally substituted by 1 to 3 radicals in each case independently of one another selected from the group of methyl, ethyl, 2-propyl, trifluoromethyl, methoxy, ethoxy, fluorine and chlorine, and the salts, solvates and/or solvates of the salts thereof.

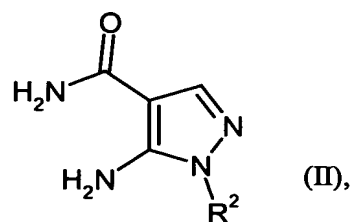
6. Compounds according to Claims 1 to 5, where

20 R<sup>1</sup> is C<sub>1</sub>-C<sub>5</sub>-alkyl or C<sub>5</sub>-C<sub>6</sub>-cycloalkyl, which are optionally substituted by up to 3 radicals independently of one another selected from the group of C<sub>1</sub>-C<sub>4</sub>-alkyl, fluorine, trifluoromethyl, hydroxy, phenylcarbonylamino, C<sub>1</sub>-C<sub>4</sub>-alkylcarbonylamino, C<sub>1</sub>-C<sub>4</sub>-alkylaminocarbonyl or phenylaminocarbonyl, and

25 R<sup>2</sup> is phenyl, pyridyl N-oxide or pyridyl, where phenyl is substituted by one radical and pyridyl and pyridyl N-oxide are optionally substituted by one radical in each case independently of one another selected from the group of methyl, ethyl, 2-propyl, trifluoromethyl, methoxy, ethoxy, fluorine and chlorine, and the salts, solvates and/or solvates of the salts thereof.

7. Process for preparing compounds according to Claim 1, characterized in that

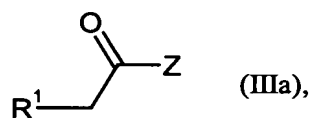
[A] compounds of the formula



in which

R<sup>2</sup> has the meanings indicated in Claim 1,

are converted by reaction with a compound of the formula

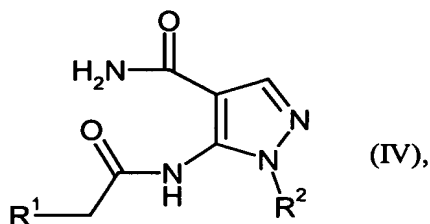


in which R<sup>1</sup> has the meanings indicated in Claim 1,

and

Z is chlorine or bromine,

in an inert solvent and in the presence of a base, initially into compounds of the formula



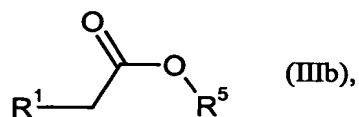
in which

R<sup>1</sup> and R<sup>2</sup> have the meanings indicated in Claim 1,

and then cyclized in an inert solvent in the presence of a base to compounds of the formula (I),

or

[B] compounds of the formula (II) are reacted with a compound of the formula



in which

R<sup>1</sup> has the meanings indicated in Claim 1,

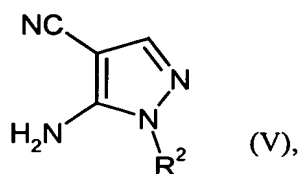
and

5 R<sup>5</sup> is methyl or ethyl,

in an inert solvent and in the presence of a base, with direct cyclization to (I),

or

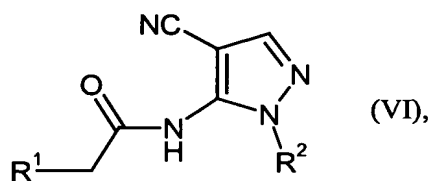
[C] compounds of the formula



10 in which

R<sup>2</sup> has the meanings indicated in Claim 1,

are converted initially by reaction with a compound of the formula (IIIa) in an inert solvent and in the presence of a base into compounds of the formula



15 in which

R<sup>1</sup> and R<sup>2</sup> have the meanings indicated in Claim 1,

and the latter are cyclized in a second step in an inert solvent and in the presence of a base and of an oxidizing agent to (I),

and the resulting compounds of the formula (I) are where appropriate reacted with the appropriate (i) solvents and/or (ii) bases or acids to give their solvates, salts and/or solvates of the salts.

- 5 8. Compounds according to any of Claims 1 to 6 for the treatment and/or prophylaxis of diseases.
9. Medicament comprising at least one of the compounds according to any of Claims 1 to 6 and at least one pharmaceutically acceptable, essentially non-toxic carrier or excipient.
- 10 10. Use of the compounds according to any of Claims 1 to 6 for producing a medicament for the prophylaxis and/or treatment of impairments of perception, concentration, learning and/or memory.
11. Use according to Claim 10, where the impairment is a consequence of Alzheimer's disease.
12. Use of the compounds according to any of Claims 1 to 6 for producing a medicament for improving perception, concentration, learning and/or memory.
- 15 13. Method for controlling impairments of perception, concentration, learning and/or memory in humans or animals by administering an effective amount of compounds from Claims 1 to 6.
14. Method according to Claim 13, where the impairment is a consequence of Alzheimer's disease.